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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Artcle 36 and Rule 70)

Applicant's or agent's file reference YB-20069-PCT	**FOR FURTHER ACTION**	SeeNotificationofTransmittalofInternationalPreliminary Examination Report (Form PCT/IPEA/416)
International application No. **PCT/KR2003/001502**	International filing date *(day/month/year)* **26 JULY 2003 (26.07.2003)**	Priority date *(day/month/year)* 26 JULY 2002 (26.07.2002)

International Patent Classification (IPC) or national classification and IPC

IPC7 C07D 405/12

Applicant

YUHAN CORPORATION et al

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 4 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of _____ sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the report
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demamd	Date of completion of this report
26 JANUARY 2004 (26.01.2004)	06 OCTOBER 2004 (06.10.2004)
Name and mailing address of the IPEA/KR Korean Intellectual Property Office 920 Dunsan-dong, Seo-gu, Daejeon 302-701, Republic of Korea Facsimile No. 82-42-472-7140	Authorized officer LEE, Mi Jeong Telephone No. 82-42-481-5601

Form PCT/IPEA/409 (cover sheet) (July 1998)

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International aplication No.
PCT/KR2003/001502

I. Basis of the report

1. With regard to the elements of the international application:*

[X] the international application as originally filed

[ ] the description:
pages _____ , as originally filed
pages _____ , filed with the demand
pages _____ , filed with the letter of _____

[ ] the claims:
pages _____ , as originally filed
pages _____ , as amended (together with any statment) under Article 19
pages _____ , filed with the demand
pages _____ , filed with the letter of _____

[ ] the drawings:
pages _____ , as originally filed
pages _____ , filed with the demand
pages _____ , filed with the letter of _____

[ ] the sequence listing part of the description:
pages _____ , as originally filed
pages _____ , filed with the demand
pages _____ , filed with the letter of _____

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.
These elements were available or furnished to this Authority in the following language _____ which is

[ ] the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).

[ ] the language of publication of the international application(under Rule 48.3(b)).

[ ] the language of the translation furnished for the purposes of international preliminary examination(under Rules 55.2 and/or 55.3).

3. With regard to any **nucleotide** and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

[ ] contained inthe international application in written form.

[ ] filed together with the international application in computer readable form.

[ ] furnished subsequently to this Authority in written form.

[ ] furnished subsequently to this Authority in computer readable form

[ ] The statement that the subsequently furnished written sequence listing does not go beyond the disc losure in the international applicationas as filed has been furinshed.

[ ] The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. [ ] The amendments have resulted in the cancellation of:

[ ] the description, pages _____

[ ] the claims, Nos. _____

[ ] the drawings, sheet _____

5. [ ] This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box(Rule 70.2(c)).**

* *Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this opinion as "originally filed." and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).*

** *Any replacement sheet containing such amendments must be referred to under item I and annexed to this report.*

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V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1 - 10	YES
	Claims		NO
Inventive step (IS)	Claims	1 - 10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1 - 10	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

The following documents are referred to in this report:

D1: WO 0038687 A (6 July 2000)
D2: WO 9808802 A (5 March 1998)
D3: KR 1077201 A (17 August 2001)
D4: WO 0048993 A (24 August 2000)

1. Novelty and Inventive Step

The present invention relates to 1-phenylpiperidine-3-one derivatives of formula (I) having a highly selective inhibitory activity against cathepsin K which is a member of the family of cysteine proteases and pharmaceutical compositions containing the same as an active ingredient.

D1 discloses 4-amino-azepan-3-one derivatives which inhibit proteases, particularly cathepsin K.
D2 discloses cyclopentanone or cyclohexanone derivatives which are inhibitors of cysteine proteases, particularly cathepsin K.
D3 discloses 4-hydroxylamino-3-cyclobutene-1,2-dione derivatives having inhibitory effect against cysteine proteases, particularly cathepsins.
D4 discloses arylaminoalkylamide derivatives as a cathepsin K inhibitor.

None of D1-D4 discloses 1-phenylpiperidine-3-one derivatives of formula (I) in the present invention.
D1 seems to be the closest prior art to the present invention in terms of the backbone structures of the active compounds. However, they differ in that the compounds of formula (I) have a "cyclohexyl" instead of an "aryl" in D1 and a 6-membered ring including N instead of 7-membered ring including N in D1. Those who skilled in the art would not be able to expect the changes in the backbone structure of the cathepsin K inhibitors in the present invention from D1.

Therefore, claims 1-10 of the present invention are considered to be novel and involve an inventive step over D1-D4 [Article 33(2) and 33(3) PCT].
(Continued on Supplemental Sheet.)

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Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of:

Box V.

2. Industrial Applicability

The subject-matter of claims 1-10 appears to be industrially applicable [Article 33(4) PCT].